

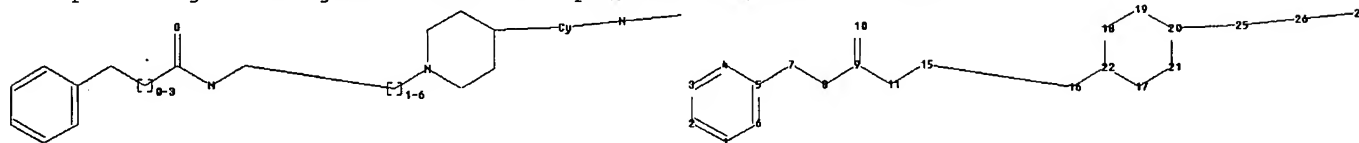
10/541,991

\*\*\*\*\* Welcome to STN International \*\*\*\*\*  
\*\*\*\*\* STN Columbus \*\*\*\*\*

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=> Uploading C:\Program Files\Stnexp\Queries\Queries\10541991.str



chain nodes :

7 8 9 10 11 15 16 25 26 27

ring nodes :

1 2 3 4 5 6 17 18 19 20 21 22

chain bonds :

5-7 7-8 8-9 9-10 9-11 11-15 15-16 16-22 20-25 25-26 26-27

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 17-21 17-22 18-19 18-22 19-20 20-21

exact/norm bonds :

9-10 9-11 11-15 16-22 17-21 17-22 18-19 18-22 19-20 20-21 20-25 25-26  
26-27

exact bonds :

5-7 7-8 8-9 15-16

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 17 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom  
25:Atom 26:CLASS 27:CLASS

Generic attributes :

25:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

L1 STRUCTURE UPLOADED

=> s l1 sam

L2 1 SEA SSS SAM L1

=> s l1 full

L3 147 SEA SSS FUL L1

=> file caplus

=> s l3

L4 7 L3

=> s l4 and pd<july 2001

21762613 PD<JULY 2001  
(PD<20010700)

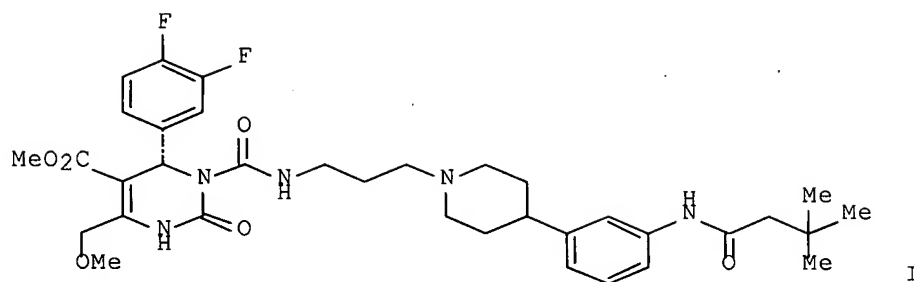
L5 1 L4 AND PD<JULY 2001

=> dis 15 bib abs hitstr

L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2004:162444 CAPLUS Full-text  
DN 140:212060  
TI DNA encoding a human melanin concentrating hormone receptor (MCH1) and  
uses thereof and preparation of 4-phenylpiperidine derivatives as human  
MCH1 receptor antagonists  
IN Salon, John A.; Laz, Thomas M.; Nagorny, Raisa; Wilson, Amy E.; Craig,  
Douglas A.  
PA USA  
SO U.S. Pat. Appl. Publ., 180 pp., Cont.-in-part of U.S. Ser. No. 899,732.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004038855	A1	20040226	US 2003-341751	20030114
	WO 2000039279	A2	20000706	WO 1999-US31169	19991230 <--
	WO 2000039279	A3	20001102		
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	CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,				
	IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,				
	MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,				
	SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,				
	DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,				
	CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2003082623	A1	20030501	US 2001-899732	20010705
	WO 2004064774	A2	20040805	WO 2004-US724	20040114
	WO 2004064774	A3	20061005		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				
	CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				
	GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				
	LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,				
	NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,				
	TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				
	IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM,				
	GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW,				
	MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI	WO 1999-US31169	A2	19991230		
	US 2000-610635	B2	20000705		
	US 2001-899732	A2	20010705		
	US 1998-224426	A2	19981231		
	US 2003-341751	A	20030114		

GI



I

AB This invention provides an isolated nucleic acid encoding a human MCH1 receptor, a purified human MCH1 receptor, vectors comprising isolated nucleic acid encoding a human MCH1 receptor, cells comprising such vectors, antibodies directed to a human MCH1 receptor, nucleic acid probes useful for detecting nucleic acid encoding human MCH1 receptors, antisense oligonucleotides complementary to unique sequences of nucleic acid encoding human MCH1 receptors, transgenic, nonhuman animals which express DNA encoding a normal or mutant human MCH1 receptor, methods of isolating a human MCH1 receptor, methods of treating an abnormality that is linked to the activity of a human MCH1 receptor, as well as methods of determining binding of compds. to mammalian MCH1 receptors. This invention further provides a method of treating a subject suffering from urinary incontinence which comprises administering to the subject an amount of an MCH1 antagonist effective to treat the subject's urinary incontinence or overactive bladder. Various 4-phenylpiperidine derivs., e.g (I), were synthesized and tested as human MCH1 receptor antagonists.

IT 487049-38-7P, N-[3-[1-[(3S)-3-[[[4-Fluorophenyl]acetyl]amino]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methylpropanamide  
487051-75-2P, N-[3-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]-2-methylpropanamide

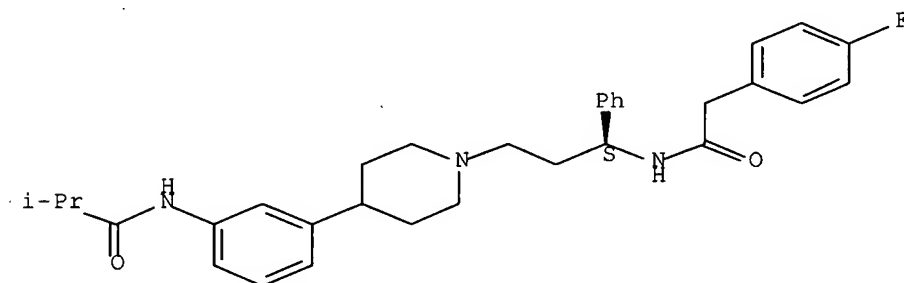
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(DNA encoding human melanin concentrating hormone receptor (MCH1) and uses thereof and preparation of phenylpiperidine derivs. as human MCH1 antagonists)

RN 487049-38-7 CAPLUS

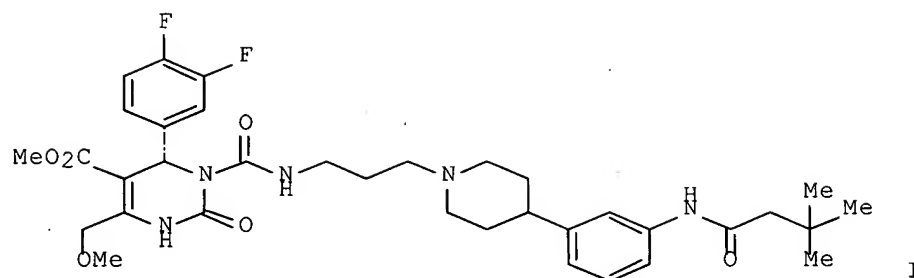
CN Benzeneacetamide, 4-fluoro-N-[(1S)-3-[4-[3-[(2-methyl-1-oxopropyl)amino]phenyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 487051-75-2 CAPLUS

CN Benzeneacetamide, N-[3-[4-[3-[(2-methyl-1-oxopropyl)amino]phenyl]-1-



AB This invention provides an isolated nucleic acid encoding a human MCH1 receptor, a purified human MCH1 receptor, vectors comprising isolated nucleic acid encoding a human MCH1 receptor, cells comprising such vectors, antibodies directed to a human MCH1 receptor, nucleic acid probes useful for detecting nucleic acid encoding human MCH1 receptors, antisense oligonucleotides complementary to unique sequences of nucleic acid encoding human MCH1 receptors, transgenic, nonhuman animals which express DNA encoding a normal or mutant human MCH1 receptor, methods of isolating a human MCH1 receptor, methods of treating an abnormality that is linked to the activity of a human MCH1 receptor, as well as methods of determining binding of compds. to mammalian MCH1 receptors. This invention further provides a method of treating a subject suffering from urinary incontinence which comprises administering to the subject an amount of an MCH1 antagonist effective to treat the subject's urinary incontinence or overactive bladder. Various 4-phenylpiperidine derivs., e.g (I), were synthesized and tested as human MCH1 receptor antagonists.

IT 487049-38-7P, N-[3-[1-[(3S)-3-[[4-(4-Fluorophenyl)acetyl]amino]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methylpropanamide  
487051-75-2P, N-[3-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]-2-methylpropanamide

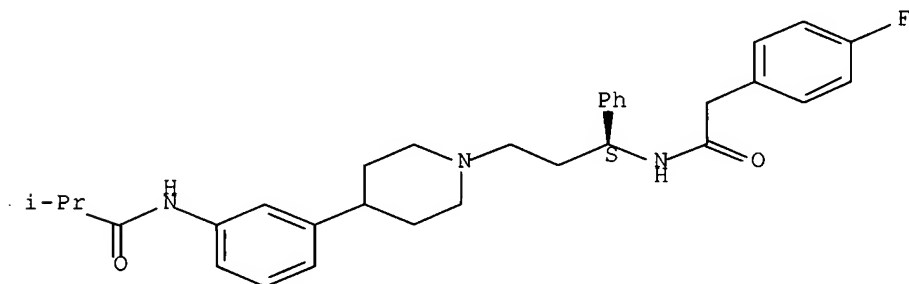
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(DNA encoding human melanin concentrating hormone receptor (MCH1) and uses thereof and preparation of phenylpiperidine derivs. as human MCH1 antagonists)

RN 487049-38-7 CAPLUS

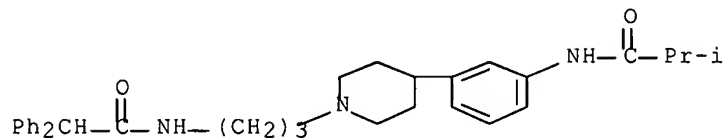
CN Benzeneacetamide, 4-fluoro-N-[(1S)-3-[4-[3-[(2-methyl-1-oxopropyl)amino]phenyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 487051-75-2 CAPLUS

CN Benzeneacetamide, N-[3-[4-[3-[(2-methyl-1-oxopropyl)amino]phenyl]-1-

piperidinyl]propyl]- $\alpha$ -phenyl- (9CI) (CA INDEX NAME)

=&gt; s 14 not 15

L6 6 L4 NOT L5

=&gt; dis 16 1-6 bib abs fhitstr

L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:836912 CAPLUS Full-text

DN 147:335595

TI Synthesis and SAR Investigations for Novel Melanin-Concentrating Hormone 1 Receptor (MCH1) Antagonists Part 2: A Hybrid Strategy Combining Key Fragments of HTS Hits

AU Chen, Chien-An; Jiang, Yu; Lu, Kai; Daniewska, Irena; Mazza, Christine G.; Negron, Leonardo; Forray, Carlos; Parola, Tony; Li, Boshan; Hegde, Laxminarayan G.; Wolinsky, Toni D.; Craig, Douglas A.; Kong, Ron; Wetzel, John M.; Andersen, Kim; Marzabadi, Mohammad R.

CS Departments of Chemistry Cellular Science and Target Discovery and Assessment, Lundbeck Research USA, Paramus, NJ, 07652-1413, USA

SO Journal of Medicinal Chemistry (2007), 50(16), 3883-3890  
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB A novel series of melanin-concentrating hormone (MCH1) receptor antagonists based on combining key fragments from the high-throughput screening (HTS) hits compound 2 (SNAP 7941) and compound 5 (chlorohaloperidol) are described. The resultant analogs, exemplified by compds. 11a-11h, 15a-15h, and 16a-16g, were evaluated in in vitro and in vivo assays for their potential in treatment of mood disorders. From further SAR investigations, N-(3-{1-[4-(3,4-difluorophenoxy)benzyl]-4-piperidinyl}-4-methylphenyl)-2-methylpropanamide (16g, SNAP 94847) was identified to be a high affinity and selective ligand for the MCH1 receptor. Compound 16g also shows good oral bioavailability (59%) and exhibits a brain/plasma ratio of 2.3 in rats. Compound 16g showed in vivo inhibition of a centrally induced MCH-induced drinking effect and exhibited a dose-dependent anxiolytic effect in the rat social interaction model.

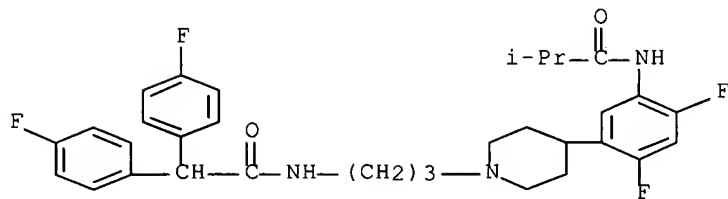
IT 762300-21-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Synthesis and SAR Investigations for Novel Melanin-Concentrating Hormone 1 Receptor (MCH1) Antagonists Part 2: A Hybrid Strategy Combining Key Fragments of HTS Hits)

RN 762300-21-0 CAPLUS

CN Benzeneacetamide, N-[3-[4-[2,4-difluoro-5-[(2-methyl-1-oxopropyl)amino]phenyl]-1-piperidinyl]propyl]-4-fluoro- $\alpha$ -(4-fluorophenyl)- (CA INDEX NAME)



RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2007:836911 CAPLUS Full-text  
DN 147:365364  
TI Synthesis and SAR Investigations for Novel Melanin-Concentrating Hormone 1 Receptor (MCH1) Antagonists Part 1. The Discovery of Arylacetamides as Viable Replacements for the Dihydropyrimidinone Moiety of an HTS Hit  
AU Jiang, Yu; Chen, Chien-An; Lu, Kai; Daniewska, Irena; De Leon, John; Kong, Ron; Forray, Carlos; Li, Boshan; Hegde, Laxminarayan G.; Wolinsky, Toni D.; Craig, Douglas A.; Wetzel, John M.; Andersen, Kim; Marzabadi, Mohammad R.  
CS Departments of Chemistry Cellular Science and Target Discovery and Assessment, Lundbeck Research USA, Paramus, NJ, 07652-1413, USA  
SO Journal of Medicinal Chemistry (2007), 50(16), 3870-3882  
CODEN: JMCMAR; ISSN: 0022-2623  
PB American Chemical Society  
DT Journal  
LA English  
GI

\*. STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Melanin-concentrating hormone (MCH) is involved in the regulation of feeding, water balance, energy metabolism, general arousal and attention state, memory, cognitive functions, and psychiatric disorders. Herein, two new chemical series exemplified by N-[5-(1-{3-[2,2-bis-(4-fluoro-phenyl)-acetylamino]-propyl}-piperidin-4-yl)-2,4-difluoro-phenyl]-isobutyramide (SNAP 102739) (I) and N-[3-(1-{3-[(S)-2-(4-fluoro-phenyl)-propionylamino]-propyl}-piperidin-4-yl)-4-methylphenyl]-isobutyramide (II) are reported. These compds. were designed to improve the pharmacokinetic properties of the high-throughput screening lead compound III (SNAP 7941). The MCH1 receptor antagonists I and II show reasonable pharmacokinetic profiles (rat bioavailability = 48 and 81%, resp.). Compds. I and II demonstrated the inhibition of a centrally administered MCH-evoked drinking effect, and I exhibited oral in vivo efficacy in the rat social interaction model of anxiety, with a min. ED = 0.3 mg/kg.

IT 762300-21-0P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

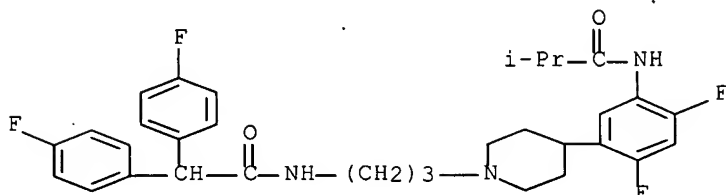
(preparation, melanin-concentrating hormone 1 receptor antagonistic activity, and

SAR of (arylacetylamino)alkylpiperidine derivs.)

RN 762300-21-0 CAPLUS

CN Benzeneacetamide, N-[3-[4-[2,4-difluoro-5-[(2-methyl-1-

oxopropyl)amino]phenyl]-1-piperidinyl]propyl]-4-fluoro- $\alpha$ -(4-fluorophenyl)- (CA INDEX NAME)

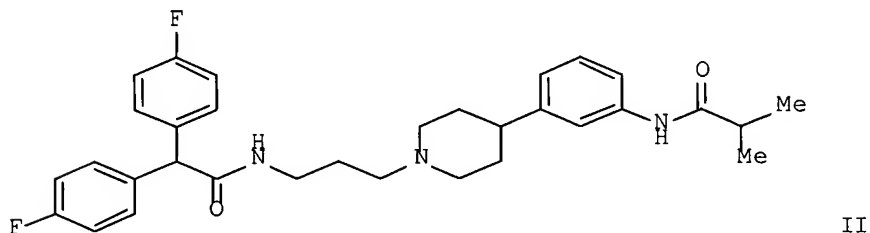
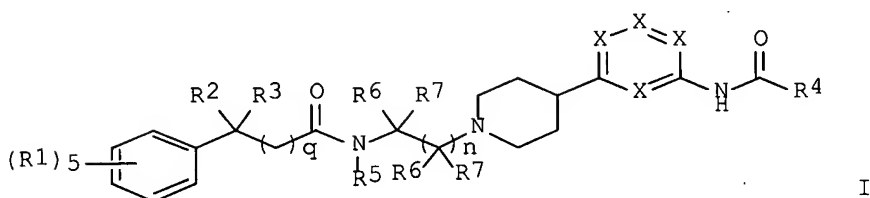


RE.CNT 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2004:780358 CAPLUS Full-text  
DN 141:295863  
TI Preparation of N-(piperidinylalkyl)benzenealkanamides as selective MCH1  
receptor antagonists for treatment of obesity and other conditions  
IN Marzabadi, Mohammad R.; Wetzel, John M.; Chen, Chien-An; Jiang, Yu; Lu,  
Kai  
PA Synaptic Pharmaceutical Corporation, USA  
SO U.S. Pat. Appl. Publ., 87 pp., Cont.-in-part of U.S. Pat. Appl. 2004  
73,036.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004186103	A1	20040923	US 2004-753057	20040106
US 2006084649	A9	20060420		
US 7199135	B2	20070403		
WO 2003004027	A1	20030116	WO 2002-US21063	20020703
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6727264	B1	20040427	US 2002-188434	20020703
US 2004073036	A1	20040415	US 2003-345063	20030114
US 2006041139	A9	20060223		
US 7105544	B2	20060912		
AU 2004206794	A1	20040805	AU 2004-206794	20040106
CA 2509456	A1	20040805	CA 2004-2509456	20040106
WO 2004064764	A2	20040805	WO 2004-US175	20040106
WO 2004064764	A3	20050113		
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EP 1590326	A2	20051102	EP 2004-700366	20040106
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BR 2004006725	A	20051220	BR 2004-6725	20040106
CN 1735595	A	20060215	CN 2004-80002080	20040106
JP 2006515618	T	20060601	JP 2006-500796	20040106
ZA 2005004218	A	20060726	ZA 2005-4218	20050524
IN 2005CN01886	A	20070330	IN 2005-CN1886	20050810
NO 2005003838	A	20050815	NO 2005-3838	20050815
PRAI US 2001-303091P	P	20010705		
US 2002-346997P	P	20020109		
US 2002-188434	A2	20020703		
WO 2002-US21063	A2	20020703		
US 2003-345063	A2	20030114		
US 2001-899794	A	20010705		
US 2002-42582	A	20020109		
WO 2004-US175	W	20040106		
OS MARPAT 141:295863				
GI				



AB Title compds. I [wherein R1 = independently H, halo, CN, NO<sub>2</sub>, (cyclo)alkyl, (cyclo)alkenyl, (hetero)aryl, amino, acyl, carbamoyl, etc.; R2, R3 = independently H, halo, CN, NH<sub>2</sub>, (un)substituted alkyl, (hetero)aryl; R4 = (cyclo)alkyl, amino, etc.; R5 = independently H, (un)substituted (hetero)aryl, alkyl; R6 = independently H, alkyl; R7 = independently H, alkyl, phenyl(alkyl); n = 1-5; q = 0-2; X = independently CR<sub>1</sub>, N, provided that if one X = N, then the remaining X = CR<sub>1</sub>; or pharmaceutically acceptable salts thereof] were prepared as selective antagonists for melanin-concentrating hormone-1 (MCH1) receptors. For example, amidation of bis(4-fluorophenyl)acetic acid with N-[3-[1-(3-aminopropyl)-4-piperidinyl]phenyl]-2-methylpropanamide gave II. The latter showed binding affinity (K<sub>i</sub> = 1.3 nM) in a radioligand binding assay using cloned rat MCH1 and produced an increase in bladder capacity in rats relative to baseline capacity in a continuous slow transvesicular infusion model assay. Thus, I and pharmaceutical composition comprising I are useful for the treatment of obesity, depression, anxiety, and other affective, urinary, or eating disorders.

IT 762297-70-1P, N-[3-[4-[3-(Isobutyrylamino)phenyl]-1-



10/541,991

piperidinylpropyl]-2,2-diphenylpropanamide

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

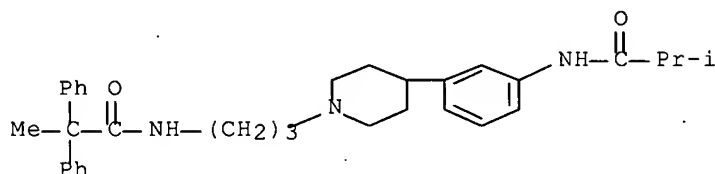
(MCH1 receptor antagonist; preparation of N-

(piperidinylalkyl)benzenealkanam

ides as MCH1 receptor antagonists for treatment of obesity and other conditions)

RN 762297-70-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -methyl-N-[3-[4-[3-[(2-methyl-1-oxopropyl)amino]phenyl]-1-piperidinyl]propyl]- $\alpha$ -phenyl- (CA INDEX NAME)



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:675723 CAPLUS Full-text

DN 141:207056

TI Preparation of piperidine derivatives as Melanin-concentrating hormone receptor antagonists

IN Moriya, Minoru; Sakamoto, Toshihiro; Ishikawa, Makoto; Kanatani, Akio; Fukami, Takehiro

PA Banyu Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 128 pp.

CODEN: PIXXD2

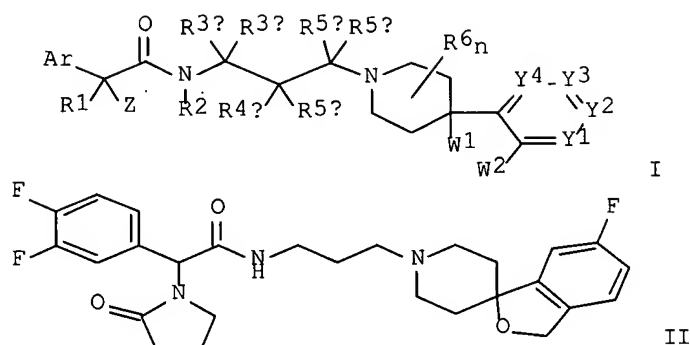
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004069798	A1	20040819	WO 2004-JP1326	20040209
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
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	AU 2004209505	A1	20040819	AU 2004-209505	20040209
	CA 2515717	A1	20040819	CA 2004-2515717	20040209
	EP 1595867	A1	20051116	EP 2004-709372	20040209
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2006106046	A1	20060518	US 2005-544261	20050803
PRAI	JP 2003-32123	A	20030210		
	WO 2004-JP1326	A	20040209		
OS	MARPAT 141:207056				

GI



AB Title compds. presented by the formula I [wherein R1 = H, hydroxy, (halo)alkyl; R2, R3a, R3b, R5a, R5b = independently H or (halo)alkyl; R4a, R4b = independently H, halo, hydroxy, (halo)alkyl; R6 = H, halo, (halo)alkyl; n = 1-8; W1, W2 = H or W1W2 = OCH2, CH2CH2, CH2O; Z = alkyl or (un)substituted (hetero)cyclic ring; R1Z = (un)substituted (hetero)cyclic ring; Ar = (un)substituted (hetero)aryl; Y1-Y4 = (un)substituted methylene or N; and pharmaceutically acceptable salts thereof] were prepared as melanin concentrating hormone receptor antagonists (no data). For example, II was given in a 3-steps synthesis starting from the reaction of spiro[6-fluoroisobenzofuran-1(3H),4'-piperidine]•HCl with N-(3-bromopropyl)phthalimide. Thus, I and their pharmaceutical compns. are useful as antagonist against melanin -concentrating hormone receptor for the treatment of CNS diseases, circulatory diseases, or metabolic diseases (no data).

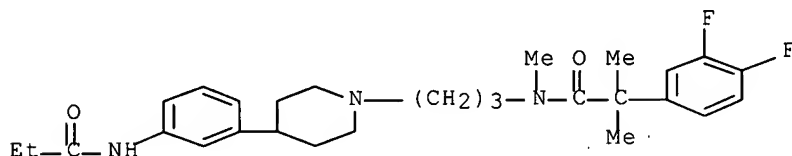
IT 741682-44-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine derivs. as melanin-concentrating hormone receptor antagonists)

RN 741682-44-0 CAPLUS

CN Benzeneacetamide, 3,4-difluoro-N,α,α-trimethyl-N-[3-[4-[3-[(1-oxopropyl)amino]phenyl]-1-piperidinyl]propyl]- (CA INDEX NAME)



L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:344622 CAPLUS Full-text

DN 140:357212

TI Preparation of substituted anilinic piperidines as MCH selective

antagonists  
 IN Marzabadi, Mohammad R.; Wetzell, John; Deleon, John E.; Jiang, Yu; Chen,  
 Chien-An; Lu, Kai  
 PA Synaptic Pharmaceutical Corporation, USA  
 SO U.S., 394 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6727264	B1	20040427	US 2002-188434	20020703
	US 2004073036	A1	20040415	US 2003-345063	20030114
	US 2006041139	A9	20060223		
	US 7105544	B2	20060912		
	US 7067534	B1	20060627	US 2003-719358	20031121
	US 2004186103	A1	20040923	US 2004-753057	20040106
	US 2006084649	A9	20060420		
	US 7199135	B2	20070403		
	US 2006217418	A1	20060928	US 2005-541991	20050705
	US 2007043080	A1	20070222	US 2005-214968	20050830
PRAI	US 2001-303091P	P	20010705		
	US 2002-346997P	P	20020109		
	US 2002-188434	A2	20020703		
	WO 2002-US21063	A2	20020703		
	US 2003-345063	A2	20030114		
	US 2003-719358	A1	20031121		
	WO 2004-US175	W	20040106		
OS	MARPAT 140:357212				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I (R1 = H, alkyl, aryl, etc.; R2 = alkyl, cyclopropyl; R3 = (un)substituted (hetero)aryl; A = H, F, Cl, Br, CN, etc.; X = O, NH; n = 0-5), II (W = III, IV (wherein R1 = H, Me, Et; X = O, NR3, CO, a bond; Y = H, (hetero)aryl; R3 = H, (hetero)aryl); R2 and A as above)] which are selective antagonists for melanin concentrating hormone-1 (MCH1) receptors, were prepared Thus, reacting 2-methyl-N-[3-(4-piperidinyl)phenyl]propanamide (preparation given) with 4-chloro-3',4'-dimethylbutyrophenone in the presence of K2CO3 and NaI in DMF afforded 80% V which showed Ki of 3.9 nM in cloned rat MCH1 binding assay.

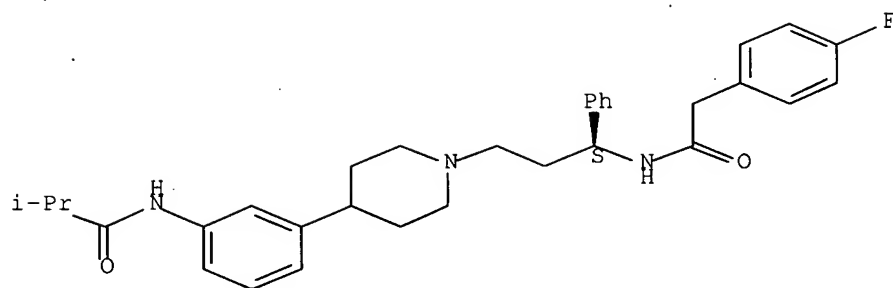
IT 487049-38-7P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted anilinic piperidines as MCH selective antagonists)

RN 487049-38-7 CAPLUS

CN Benzeneacetamide, 4-fluoro-N-[(1S)-3-[4-[3-[(2-methyl-1-oxopropyl)amino]phenyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.

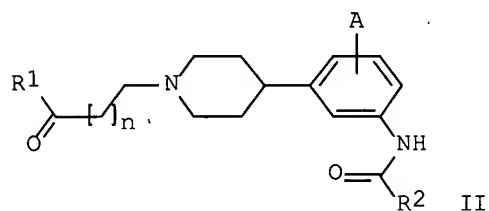
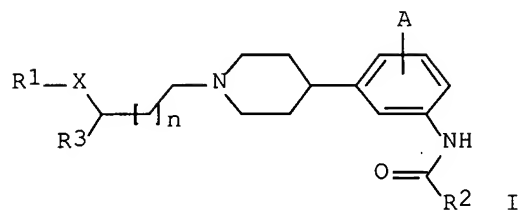


RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2003:42108 CAPLUS Full-text  
DN 138:106601  
TI Preparation of substituted anilinic piperidines as MCH selective antagonists  
IN Marzabadi, Mohammad R.; Wetzell, John; Deleon, John E.; Jiang, Yu  
PA Synaptic Pharmaceutical Corporation, USA  
SO PCT Int. Appl., 771 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003004027	A1	20030116	WO 2002-US21063	20020703
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2454613	A1	20030116	CA 2002-2454613	20020703
AU 2002316531	A1	20030121	AU 2002-316531	20020703
AU 2002316531	B2	20070913		
EP 1411942	A1	20040428	EP 2002-746843	20020703
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002010869	A	20040629	BR 2002-10869	20020703
JP 2004536104	T	20041202	JP 2003-510038	20020703
HU 2004001880	A2	20050128	HU 2004-1880	20020703
ZA 200309860	A	20050311	ZA 2003-9860	20020703
CN 1671386	A	20050921	CN 2002-817212	20020703
NZ 530221	A	20060331	NZ 2002-530221	20020703
US 2004073036	A1	20040415	US 2003-345063	20030114
US 2006041139	A9	20060223		
US 7105544	B2	20060912		
MX 2003PA11886	A	20050307	MX 2003-PA11886	20031218
NO 2004000028	A	20040304	NO 2004-28	20040105
US 2004186103	A1	20040923	US 2004-753057	20040106
US 2006084649	A9	20060420		

US 7199135	B2	20070403		
IN 2004CN00230	A	20051209	IN 2004-CN230	20040205
PRAI US 2001-899794	A	20010705		
US 2002-42582	A	20020109		
US 2001-303091P	P	20010705		
US 2002-346997P	P	20020109		
US 2002-188434	A2	20020703		
WO 2002-US21063	W	20020703		
US 2003-345063	A2	20030114		
OS MARPAT 138:106601				
GI				



AB The title compds. [I (R1 = H, alkyl, aryl, etc.; R2 = alkyl, cyclopropyl; R3 = (un)substituted (hetero)aryl; A = H, F, Cl, Br, CN, etc.; X = O, NH; n = 0-5), II (R1 = (un)substituted (hetero)aryl; R2, A, n as above ), etc.] which are selective antagonists for melanin concentrating hormone-1 (MCH1) receptors, were prepared and formulated. Thus, reacting 2-methyl-N-[3-(4-piperidinyl)phenyl]propanamide (preparation given) with 4-chloro-3',4'-dimethylbutyrophenone in the presence of K2CO3 and NaI in DMF afforded 80% II [R1 = R1 = 3,4-Me2C6H3; R2 = iso-Pr; A = H; n = 2] which showed Ki of 3.9 nM in cloned rat MCH1 binding assay.

IT 487049-38-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

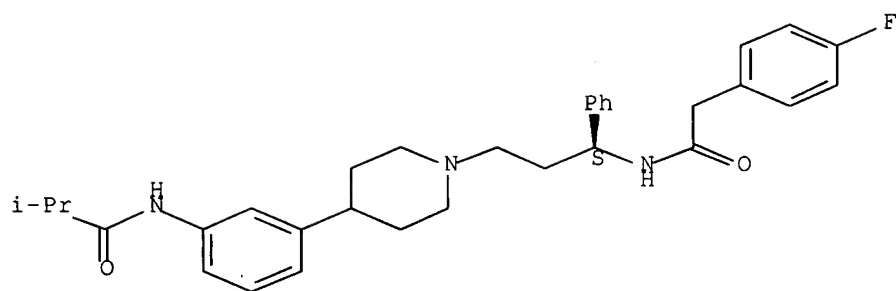
(preparation of substituted anilinic piperidines as MCH selective antagonists)

RN 487049-38-7 CAPLUS

CN Benzeneacetamide, 4-fluoro-N-[(1S)-3-[4-[3-[(2-methyl-1-oxopropyl)amino]phenyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.

10/541,991



RE.CNT 3      THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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STN INTERNATIONAL LOGOFF AT 10:42:41 ON 29 OCT 2007